



Docket No. 1919/62814-A/JPW/GJG/JH

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Applicant : Timothy Norris et al.  
Serial No. : 09/711,272  
Filed : November 9, 2000  
For : Stable Polymorph of N-(3-Ethylphenyl)-6,7-Bis(2-methoxyethoxy)-4-Quinazolinamine Hydrochloride, Methods of Production and Uses Thereof.

1185 Avenue of the Americas  
New York, New York 10036  
June 29, 2001

Assistant Commissioner for Patents  
Washington, D.C. 20231

Sir:

INFORMATION DISCLOSURE STATEMENT

(contained in a total of five volumes of references)

In accordance with their duty of disclosure under 37 C.F.R. §1.56, applicant would like to direct the Examiner's attention to the following disclosures, which are listed on Form PTO-1449 (**Exhibit A**). Copies of the disclosures listed below as items 1-87 are attached hereto as **Exhibits 1-87**, respectively:

1. U.S. Patent No. 4,139,561 (Onopchenko et al.) issued February 13, 1979 (**Exhibit 1**);
2. U.S. Patent No. 4,216,341 (Onopchenko et al.) issued August 5, 1980 (**Exhibit 2**);
3. U.S. Patent No. 4,219,679 (Onopchenko et al.) issued August 26, 1990 (**Exhibit 3**);
4. U.S. Patent No. 4,255,313 (Antonoplos et al.) issued March 10, 1981 (**Exhibit 4**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 2

5. U.S. Patent No. 4,305,751 (Sabourin et al.) issued December 15, 1981 (**Exhibit 5**);
6. U.S. Patent No. 4,322,420 (Kobayashi et al.) issued March 30, 1982 (**Exhibit 6**);
7. U.S. Patent No. 4,943,533 (Mendelsohn et al.) issued July 24, 1990 (**Exhibit 7**);
8. U.S. Patent No. 5,089,499 (Barker et al.) issued February 18, 1992 (**Exhibit 8**);
9. U.S. Patent No. 5,256,781 (Primeau et al.) issued October 26, 1993 (**Exhibit 9**);
10. U.S. Patent No. 5,457,105 (Barker) issued October 10, 1995 (**Exhibit 10**);
11. U.S. Patent No. 5,475,001 (Barker) issued December 12, 1995 (**Exhibit 11**);
12. U.S. Patent No. 5,580,870 (Barker) issued December 3, 1996 (**Exhibit 12**);
13. U.S. Patent No. 5,616,582 (Barker) issued April 1, 1997 (**Exhibit 13**);
14. U.S. Patent No. 5,639,881 (Skibo et al.) issued June 17, 1997 (**Exhibit 14**);
15. U.S. Patent No. 5,654,307 (Bridges et al.) issued August 5, 1997 (**Exhibit 15**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 3

16. U.S. Patent No. 5,686,458 (Lee et al.) issued November 11, 1997 (**Exhibit 16**);
17. U.S. Patent No. 5,707,992 (Webber et al.) issued January 13, 1998 (**Exhibit 17**);
18. U.S. Patent No. 5,710,145 (Engel et al.) issued January 20, 1998 (**Exhibit 18**);
19. U.S. Patent No. 5,747,498 (Schnur et al.) issued May 5, 1998 (**Exhibit 19**);
20. U.S. Patent No. 5,770,195 (Hudziak et al.) issued January 23, 1998 (**Exhibit 20**);
21. U.S. Patent No. 5,817,674 (Clemence et al.) issued October 6, 1998 (**Exhibit 21**);  
- - - - -  
22. U.S. Patent No. 5,821,246 (Brown et al.) issued October 13, 1998 (**Exhibit 22**);
23. U.S. Patent No. 5,948,784 (Fujiwara et al.) issued September 7, 1989 (**Exhibit 23**);
24. U.S. Patent No. 6,004,979 (Clemence et al.) issued December 21, 1999 (**Exhibit 24**);
25. U.S. Patent No. 6,130,218 (Morsdorf et al.) issued October 10, 2000 (**Exhibit 25**);
26. Australian Patent No. AU 18422/92 filed June 22, 1992 (**Exhibit 26**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 4

27. Australian Patent No. AU 31010/93 filed January 4, 1993  
**(Exhibit 27);**
28. Australian Patent No. AU 38/13095 filed November 8, 1995  
**(Exhibit 28);**
29. Canadian Patent No. CA 2,086,968 filed November 12, 1992  
**(Exhibit 29);**
30. German Patent No. DE 2,936,705 filed September 11, 1979  
**(Exhibit 30);**
31. European Patent No. EP 0 498 723 filed February 5, 1992  
**(Exhibit 31);**
32. European Patent Application Publication No. EP 0 520 722 A1 published December 30, 1992 **(Exhibit 32);**
33. European Patent No. EP 0 566 226 B1 filed January 15, 1993  
**(Exhibit 33);**
34. European Patent Application Publication No. EP 0 579 496 A1 published January 19, 1994 **(Exhibit 34);**
35. European Patent Application Publication No. EP 0 602 851 A1 published June 22, 1994 **(Exhibit 35);**
36. European Patent Application Publication No. EP 0 635 498 A1 published January 25, 1995 **(Exhibit 36);**
37. European Patent Application Publication No. EP 0 635 507 A1 published January 25, 1995 **(Exhibit 37);**

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 5

38. European Patent Application Publication No. EP 0 667 165 A1 published August 16, 1995 (**Exhibit 38**);
39. European Patent Application Publication No. EP 0 787 722 A1 published August 6, 1997 (**Exhibit 39**);
40. Japanese Patent No. JP 1048048 filed August 19, 1987 (**Exhibit 40**);
41. Japanese Patent No. JP 5208911 filed June 22, 1992 (**Exhibit 41**);
42. Japanese Patent No. JP 6192235 filed July 15, 1993 (**Exhibit 42**);
43. Japanese Patent No. JP 6205969 filed July 3, 1985 (**Exhibit 43**);
44. Japanese Patent No. JP 6336481 filed December 3, 1993 --(**Exhibit 44**);
45. Japanese Patent No. JP 7101941 filed September 30, 1993 (**Exhibit 45**);
46. Japanese Patent No. JP 7118266 filed January 28, 1994 (**Exhibit 46**);
47. Japanese Patent No. JP 7126255 filed September 7, 1994 (**Exhibit 47**);
48. Japanese Patent No. JP 7188244 filed October 7, 1994 (**Exhibit 48**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 6

49. Japanese Patent No. JP 7309873 filed November 9, 1992  
**(Exhibit 49);**
50. Japanese Patent No. JP 8099962 filed July 15, 1993 **(Exhibit 50);**
51. Japanese Patent No. JP 8151377 filed November 25, 1994  
**(Exhibit 51);**
52. Japanese Patent No. JP 9165385 filed August 25, 1995  
**(Exhibit 52);**
53. Japanese Patent No. JP 9221478 filed February 4, 1997  
**(Exhibit 53);**
54. New Zealand Patent No. NZ 0245662 filed January 15, 1993  
**(Exhibit 54);**
55. Russian Patent No. RU 2127263 filed January 15, 1993  
**(Exhibit 55);**
56. PCT International Application Publication No. WO 92/20642  
published November 26, 1992 **(Exhibit 56);**
57. PCT International Application Publication No. WO 95/03283  
published February 2, 1995 **(Exhibit 57);**
58. PCT International Application Publication No. WO 95/15758  
published June 15, 1995 **(Exhibit 58);**
59. PCT International Application Publication No. WO 96/09294  
published March 28, 1996 **(Exhibit 59);**

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 7

60. PCT International Application Publication No. WO 96/15118  
published May 23, 1996 (**Exhibit 60**);
61. PCT International Application Publication No. WO 96/28430  
published September 19, 1996 (**Exhibit 61**)
62. PCT International Application Publication No. WO 96/30347  
published October 3, 1996 (**Exhibit 62**)
63. PCT International Application Publication No. WO 96/40210  
published December 19, 1996 (**Exhibit 63**);
64. PCT International Application Publication No. WO 97/03069  
published January 30, 1997 (**Exhibit 64**);
65. PCT International Application Publication No. WO 97/30035  
published August 21, 1997 (**Exhibit 65**);
66. PCT International Application Publication No. WO 97/32856  
published September 12, 1997 (**Exhibit 66**);
67. PCT International Application Publication No. WO 97/41896  
published November 13, 1997 (**Exhibit 67**);
68. PCT International Application Publication No. WO 98/13354  
published April 2, 1998 (**Exhibit 68**);
69. PCT International Application Publication No. WO 99/03803  
published January 28, 1999 (**Exhibit 69**);
70. PCT International Application Publication No. WO 99/55683  
published November 4, 1999 (**Exhibit 70**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 8

71. PCT International Application Publication No. WO 99/60023 published November 25, 1999 (**Exhibit 71**);
72. PCT International Application Publication No. WO 00/31048 published February 6, 2000 (**Exhibit 72**);
73. Agharkar, S., et al., "Enhancement of Solubility of Drug Salts by Hydrophilic Counterions: Properties of Organic Salts of an Antimalarial Drug," *Journal of Pharmaceutical Sciences* 1976, Vol. 65, No. 5, p.p. 747-749 (**Exhibit 73**);
74. Berge, S., et al., "Pharmaceutical Salts," *Journal of Pharmaceutical Sciences* 1977, Vol. 66, No. 1, p.p. 1-19 (**Exhibit 74**);
75. Bleicher, L., et al., "Aryl- and Hetero-Alkyne Coupling Reactions Catalyzed by Palladium on Carbon and CuI in an Aqueous Medium," *Synlett* 1995, November, p.p. 1115-1116 (**Exhibit 75**);  
-----
76. Bleicher, L., et al., "A Practical and Efficient Synthesis of the Selective Neuronal Acetylcholine-Gated Ion Agonist (S)-(-)-5-Ethylynlyl-3-(1-methyl-2-pyrrolidinyl)pyridine Maleate (SIB-1508Y)," *Journal of Organic Chemistry* 1998, Vol. 63, No. 4, p.p. 1109-1118 (**Exhibit 76**);
77. Botros, S., et al., "Synthesis of Certain Nitro-quinazoline Derivatives Structurally Related to Some Chemotherapeutic Agents," *Egypt. J. Pharm. Sci.* 1972, Vol. 13, No. 1, p.p. 11-21 (**Exhibit 77**);

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 9

78. Cerny, A., "Solvolysis of Some 1-(8a-ergolyinyl)-3,3-Diethylureas and Their Salts," *Collection-Czechoslovak Chem. Commun.* 1987, Vol. 52, p.p. 1331-1339 (**Exhibit 78**);
79. Hussain, M., et al., "Parenteral Formulation of the Kappa Agonist Analgesic, DuP 747, via Micellar Solubilization," *Pharmaceutical Research* 1992, Vol. 9, No. 6, p.p. 750-752 (**Exhibit 79**);
80. Moyer, J., et al., "Induction of Apoptosis and Cell Cycle Arrest by CP-358,774, an Inhibitor of Epidermal Growth Factor Receptor Tyrosine Kinase," *Cancer Research* 1997, Vol. 57, p.p. 4838-4848 (**Exhibit 80**);  
-----
81. Norris, T., et al., "Discovery of a New Stable Polymorph of 4-(3-ethynylphenylamino)-6,7-bis(2-methoxy-ethoxy)-quinazolinium Methanesulfonate Using Near-Infrared Spectroscopy to Monitor Form Change Kinetics," *J. Chem. Soc., Perkin Trans. 2000*, Vol. 2, p.p. 1233-1236 (**Exhibit 81**);  
-----
82. Onopchenko, et al., "Selective Catalytic Hydrogenation of Aromatic Nitro Groups in the Presence of Acetylenes. Synthesis of (3-Aminophenyl)acetylene via Hydrogenation of Dimethylcarbinol Substituted (3-Nitrophenyl)acetylene over Heterogeneous Metallic Ruthenium Catalyst," *Journal of Organic Chemistry* 1979, Vol. 44, No. 8, p.p. 1233-1236 (**Exhibit 82**);
83. Pollack, V., et al., "Inhibition of Epidermal Growth Factor Receptor-Associated Tyrosine Phosphorylation in Human Carcinomas with CP-358,774: Dynamics of Receptor Inhibition In Situ and Antitumor Effects in Athymic Mice," *Journal of*

Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 10

*Pharmacology and Experimental Therapeutics, 1999, Vol. 291,  
No. 2, p.p. 739-748 (Exhibit 83);*

84. Rosenberg, S., et al., "Studies Directed toward the Design of Orally Active Renin Inhibitors. 2. Development of the Efficacious, Bioavailable Renin Inhibitor (2S)-2-Benzyl-3-[(1-methylpiperazin-4-yl)sulfonyl]propionyl]-3-thiazol-4-yl-L-alanine Amide of (2S, 3R, 4S)-2-Amino-1-cyclohexyl-3, 4-dihydroxy-6-methylheptane (A-72517)," *J. Med. Chem.* **1993**, Vol. 36, p.p. 460-467 (**Exhibit 84**);
85. Smaill, J., et al., "Tyrosine Kinase Inhibitors. 17. Irreversible Inhibitors of the Epidermal Growth Factor Receptor: 4-(Phenylamino)quinazoline- and 4-(Phenylamino)pyrido[3,2-d]pyrimidine-6-acrylamides Bearing Additional Solubilizing Functions," *J. Med. Chem.* **2000**, Vol. 43, p.p. 1380-1397 (**Exhibit 85**);
86. Spürlock, C., "Increasing Solubility of Enoxacin and Norfloxacin by Means Salt Formation," *Journal of Parenteral Science and Technology* **1986**, Vol. 40, No. 2, p.p. 70-72 (**Exhibit 86**);
87. Takalo, H., et al., "Synthesis of Some Substituted Dimethyl and Diethyl 4-(Phenylethynyl)-2,6-pyridine-dicarboxylates," *Acta Chemica Scandinavica*, Vol. B42, p.p. 448-454 (**Exhibit 87**);

No fee is deemed necessary in connection with the filing of this Information Disclosure Statement. If any such fee is required,

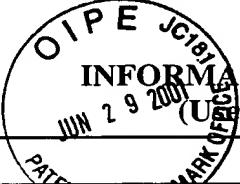
Timothy Norris et al.  
U.S. Serial No. 09/711,272  
Filed: November 9, 2000  
Page 11

authorization is hereby given to charge the amount of any such fee to Deposit Account No. 03-3125.

Respectfully submitted,



John P. White  
Registration No. 28,678  
Gary J. Gershik  
Registration No. 39,992  
Attorneys for Applicants  
Cooper & Dunham LLP  
1185 Avenue of the Americas  
New York, New York 10036  
(212) 278-0400

Form PTO-1449		U.S. Department of Commerce Patent and Trademark Office							Atty. Docket No. 1919/62814-A	Serial No. 09/711,272				
 INFORMATION DISCLOSURE CITATION (Use several sheets if necessary)									Applicants Timothy Norris et al.					
									Filing Date November 9, 2000	Group				
<b>U.S. PATENT DOCUMENTS</b>														
Examiner Initial	Document Number							Date	Name	Class	Subclass	Filing Date if Appropriate		
	US	4	1	3	9	5	6	1	2/13/79	Onopchenko et al.				
	US	4	2	1	6	3	4	1	08/05/80	Onopchenko et al.				
	US	4	2	1	9	6	7	9	08/26/90	Onopchenko et al.				
	US	4	2	5	5	3	1	3	03/10/81	Antonoplos et al.				
	US	4	3	0	5	7	5	1	12/15/81	Sabourin et al.				
	US	4	3	2	2	4	2	0	03/30/82	Kobayashi et al				
<b>FOREIGN PATENT DOCUMENTS</b>														
		Document Number							Date	Country	Class	Subclass	Translation	
													Yes	No
	AU	1	8	4	2	2	9	2	6/22/92	Australia				
	AU	3	1	0	1	0	9	3	1/4/93	Australia				
	AU	3	8	1	3	0	9	5	11/8/95	Australia				
	CA	2	0	8	6	9	6	8	11/12/92	Canadian				
	DE	2	9	3	6	7	0	5	9/11/79	German				
	EP	0	4	9	8	7	2	3	2/5/92	European Patent Office				
	EP	0	5	2	0	7	2	2	12/30/92	European Patent Office				
	EP	0	5	6	6	2	2	6	1/15/93	European Patent Office				
	EP	0	5	7	9	4	9	6	1/19/94	European Patent Office				
	EP	0	6	0	2	8	5	1	06/22/94	European Patent Office				
	EP	0	6	3	5	4	9	8	01/25/95	European Patent Office				
<b>OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)</b>														
		Agharkar, S., et al., "Enhancement of Solubility of Drug Salts by Hydrophilic Counterions: Properties of Organic Salts of an Antimalarial Drug," <i>Journal of Pharmaceutical Sciences</i> 1976, Vol. 65, No. 5, p.p. 747-749 (Exhibit 73)												
		Berge, S., et al., "Pharmaceutical Salts," <i>Journal of Pharmaceutical Sciences</i> 1977, Vol. 66, No. 1, p.p. 1-19 (Exhibit 74)												
		Bleicher, L., et al., "Aryl- and Hetero-Alkyne Coupling Reactions Catalyzed by Palladium on Carbon and CuI in an Aqueous Medium," <i>Synlett</i> 1995, November, p.p. 1115-1116 (Exhibit 75)												
EXAMINER					DATE CONSIDERED									

\*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 1001-1008, and not considered. Include copy of this form with next communication to applicant.

Applicants: Timothy Norris et al.  
Serial No. 09/711,272  
Filed: November 9, 2000  
**Exhibit A**

Form PTO-1449

U.S. Department of Commerce  
Patent and Trademark Office

Atty. Docket No.

Serial No.



Applicants

Filing Date

Group

## U.S. PATENT DOCUMENTS

Examiner Initial	Document Number								Date	Name	Class	Subclass	Filing Date if Appropriate
	US	4	9	4	3	5	3	3	7/24/90	Mendelsohn et al.			
	US	5	0	8	9	4	9	9	2/18/92	Barker et al.			
	US	5	2	5	6	7	8	1	10/26/93	Primeau et al.			
	US	5	4	5	7	1	0	5	10/10/95	Barker			
	US	5	4	7	5	0	0	1	12/12/95	Barker			

## FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Subclass	Translation	
							Yes	No
	EP	0 6 3 5 5 0 7	1/25/95	European Patent Office				
	EP	0 6 6 7 1 6 5	8/16/95	European Patent Office				
	EP	0 7 8 7 7 2 2	8/6/97	European Patent Office				
	JP	1 0 4 8 0 4 8	02/22/89	Japan				
	JP	5 2 0 8 9 1 1	6/22/92	Japan				
	JP	6 1 9 2 2 3 5	7/15/93	Japan				
	JP	6 2 0 5 9 6 9	7/3/85	Japan				
	JP	6 3 3 6 4 8 1	12/3/93	Japan				
	JP	7 1 0 1 9 4 1	9/30/93	Japan				
	JP	7 1 1 8 2 6 6	1/28/94	Japan				

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	Bleicher, L., et al., "A Practical and Efficient Synthesis of the Selective Neuronal Acetylcholine-Gated Ion Agonist (S)-(-)-5-Ethynyl-3-(1-methyl-2-pyrrolidinyl)pyridine Maleate (SIB-1508Y)," <i>Journal of Organic Chemistry</i> 1998, Vol. 63, No. 4, p.p. 1109-1118 (Exhibit 76)
	Botros, S., et al., "Synthesis of Certain Nitro-quinazoline Derivatives Structurally Related to Some Chemotherapeutic Agents," <i>Egypt. J. Pharm. Sci.</i> 1972, Vol. 13, No. 1, p.p. 11-21 (Exhibit 77)
	Cerny, A., "Solvolyisis of Some 1-(8a-ergolyinyl)-3,3-Diethylureas and Their Salts," <i>Collection-Czechoslovak Chem. Commun.</i> 1987, Vol. 52, p.p. 1331-1339 (Exhibit 78)

EXAMINER	DATE CONSIDERED
----------	-----------------

\*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

INFORMATION DISCLOSURE CITATION  
(Use several sheets if necessary)

JUN 29 2001

## U. S. PATENT DOCUMENTS

Examiner Initial	Document Number							Date	Name	Class	Subclass	Filing Date if Appropriate
PTEN	US	5	5	8	0	8	7	0	12/3/96	Barker		
	US	5	6	1	6	5	8	2	4/1/97	Barker		
	US	5	6	3	9	8	8	1	6/17/97	Skibo et al.		
	US	5	6	5	4	3	0	7	08/05/97	Bridges et al.		
	US	5	6	8	6	4	5	8	11/11/97	Lee et al.		

## FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Subclass	Translation	
							Yes	No
JP	7	1 2 6 2 5 5	9/7/94	Japan				
JP	7	1 8 8 2 4 4	07/25/95	Japan				
JP	7	3 0 9 8 7 3	11/9/92	Japan				
JP	8	0 9 9 9 6 2	7/15/93	Japan				
JP	8	1 5 1 3 7 7	11/25/94	Japan				
JP	9	1 6 5 3 8 5	8/25/95	Japan				
JP	9	2 2 1 4 7 8	2/4/97	Japan				
NZ	0	2 4 5 6 6 2	1/15/93	New Zealand				

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	Hussain, M., et al., "Parenteral Formulation of the Kappa Agonist Analgesic, DuP 747, via Micellar Solubilization," <i>Pharmaceutical Research</i> 1992, Vol. 9, No. 6, p.p. 750-752 (Exhibit 79)
	Moyer, J., et al., "Induction of Apoptosis and Cell Cycle Arrest by CP-358,774, an Inhibitor of Epidermal Growth Factor Receptor Tyrosine Kinase," <i>Cancer Research</i> 1997, Vol. 57, p.p. 4838-4848 (Exhibit 80)
	Norris, T., et al., "Discovery of a New Stable Polymorph of 4-(3-ethynylphenylamino)-6,7-bis(2-methoxyethoxy)quinazolinium Methanesulfonate Using Near-Infrared Spectroscopy to Monitor Form Change Kinetics," <i>J. Chem. Soc., Perkin Trans. 2</i> 2000, Vol. 2, p.p. 1233-1236 (Exhibit 81)
	Onopchenko, et al., "Selective Catalytic Hydrogenation of Aromatic Nitro Groups in the Presence of Acetylenes. Synthesis of (3-Aminophenyl)acetylene via Hydrogenation of Dimethylcarbinol Substituted (3-Nitrophenyl)acetylene over Heterogeneous Metallic Ruthenium Catalyst," <i>Journal of Organic Chemistry</i> 1979, Vol. 44, No. 8, p.p. 1233-1236 (Exhibit 82)

EXAMINER

DATE CONSIDERED

\*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

Applicants

Filing Date

Group

INFORMATION DISCLOSURE CITATION  
(Use several sheets if necessary)

JUN 29 2001

## U.S. PATENT DOCUMENTS

Examiner Initial	Document Number	Date	Name	Class	Subclass	Filing Date if Appropriate
US 5 7 0 7 9 9 2	1/13/98	Webber et al.				
US 5 7 1 0 1 4 5	1/20/98	Engel et al.				
US 5 7 4 7 4 9 8	5/5/98	Schnur et al.				
US 5 7 7 0 1 9 5	1/23/98	Hudziak et al.				

## FOREIGN PATENT DOCUMENTS

		Document Number	Date	Country	Class	Subclass	Translation	
							Yes	No
RU 2 1 2 7 2 6 3	1/15/93	Russia						
WO 9 2 2 0 6 4 2	11/26/92	PCT International						
WO 9 5 0 3 2 8 3	02/02/95	PCT International						
WO 9 5 1 5 7 5 8	06/15/95	PCT International						
WO 9 6 0 9 2 9 4	03/28/96	PCT International						
WO 9 6 1 5 1 1 8	05/23/96	PCT International						
WO 9 6 2 8 4 3 0	09/19/96	PCT International						
WO 9 6 3 0 3 4 7	10/03/96	PCT International						
WO 9 6 4 0 2 1 0	12/19/96	PCT International						

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	Pollack, V., et al., "Inhibition of Epidermal Growth Factor Receptor-Associated Tyrosine Phosphorylation in Human Carcinomas with CP-358,774: Dynamics of Receptor Inhibition In Situ and Antitumor Effects in Athymic Mice," <i>Journal of Pharmacology and Experimental Therapeutics</i> , 1999, Vol. 291, No. 2, p.p. 739-748 <b>(Exhibit 83)</b>
	Rosenberg, S., et al., "Studies Directed toward the Design of Orally Active Renin Inhibitors. 2. Development of the Efficacious, Bioavailable Renin Inhibitor (2S)-2-Benzyl-3-[[[(1-methylpiperazin-4-yl)sulfonyl]propionyl]-3-thiazol-4-yl-L-alanine Amide of (2S, 3R, 4S)-2-Amino-1-cyclohexyl-3, 4-dihydroxy-6-methylheptane (A-72517)," <i>J. Med. Chem.</i> 1993, Vol. 36, p.p. 460-467 <b>(Exhibit 84)</b>

EXAMINER DATE CONSIDERED

**\*EXAMINER:** Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.

INFORMATION DISCLOSURE CITATION  
(Use several sheets if necessary)

JUN 29 2001

## U.S. PATENT DOCUMENTS

Examiner Initial	Document Number	Date	Name	Class	Subclass	Filing Date if Appropriate
PTANT & TRADEMARK OFFICE	US 5 8 1 7 6 7 4	10/6/98	Clemence et al.			
	US 5 8 2 1 2 4 6	10/13/98	Brown et al.			
	US 5 9 4 8 7 8 4	09/07/99	Fujiwara et al.			
	US 6 0 0 4 9 7 9	12/21/99	Clemence et al.			
	US 6 1 3 0 2 1 8	10/10/00	Morsdorf et al.			

## FOREIGN PATENT DOCUMENTS

	Document Number	Date	Country	Class	Subclass	Translation	
						Yes	No
	WO 9 7 0 3 0 6 9	01/30/97	PCT International				
	WO 9 7 3 0 0 3 5	08/21/97	PCT International				
	WO 9 7 3 2 8 5 6	09/12/97	PCT International				
	WO 9 7 4 1 8 9 6	11/13/97	PCT International				
	WO 9 8 1 3 3 5 4	04/02/98	PCT International				
	WO 9 9 0 3 8 0 3	01/28/99	PCT International				
	WO 9 9 5 5 6 8 3	11/04/99	PCT International				
	WO 9 9 6 0 0 2 3	11/25/99	PCT International				
	WO 0 0 3 1 0 4 8	2/6/00	PCT International				

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

	Smaill, J., et al., "Tyrosine Kinase Inhibitors. 17. Irreversible Inhibitors of the Epidermal Growth Factor Receptor: 4-(Phenylamino)quinazoline- and 4-(Phenylamino)pyrido[3,2-d]pyrimidine-6-acrylamides Bearing Additional Solubilizing Functions," <i>J. Med. Chem.</i> <b>2000</b> , Vol. 43, p.p. 1380-1397 (Exhibit 85)	
	Spurlock, C., "Increasing Solubility of Enoxacin and Norfloxacin by Means Salt Formation," <i>Journal of Parenteral Science and Technology</i> <b>1986</b> , Vol. 40, No. 2, p.p. 70-72 (Exhibit 86)	
	Takalo, H., et al., "Synthesis of Some Substituted Dimethyl and Diethyl 4-(Phenylethynyl)-2,6-pyridinedicarboxylates," <i>Acta Chemica Scandinavica</i> , Vol. B42, p.p. 448-454 (Exhibit 87)	

EXAMINER DATE CONSIDERED

\*EXAMINER: Initial if citation considered, whether or not citation is in conformance with MPEP 609: Draw line through citation if not in conformance and not considered. Include copy of this form with next communication to applicant.